L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN GI

$$R^{6}$$
 R^{4}
 R^{2}
 R^{2}
 R^{1}
 $COCH_{3}$
 R^{2}

AB Title compds. I [wherein R1, R2 = H, Me; R3 = H, HO, (alkylamino)alkoxy, heterocycloalkylalkoxy; R4, R5 = independently H, HO, alkoxy, (un)substituted amino, etc., with provisos; R6 = (hetero)aryl, (hetero)cycloalkyl, alkyl; and pharmaceutically acceptable salts thereof] were prepared For example, II, I [R1 = R2 = Me, R3 = R4 = R5 = MeO, R6 = 3-Cl-2,6-(MeO)2], was given in multiple-step synthesis starting from 5,7-dimethoxy-2,2,4-trimethyl-1,2-dihydroquinoline. The prepared title compds. I exhibited an IC50 value of less than 10-5 M in either an agonistic or an antagonistic assay for CHO-FSH in vitro bioactivity. Thus, I and their pharmaceutical compns. are useful for the manufacture of a medicament for fertility regulation.

AN 2004:550940 CAPLUS

DN 141:106386

TI Preparation of tetrahydroquinoline derivatives for fertility regulation

IN Timmers, Cornelis Marius; Karstens, Willem Frederik Johan

PA Akzo Nobel N.V., Neth.

SO PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	ENT		KIND DATE				APPL	ICAT	ION I		DATE									
ΡI	WO 2004056780					A2	:	20040708		1	WO 2	003-	EP51		20031216						
	WO	WO 2004056780				A3			20040805												
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,			
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,			
													ΚE,								
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,			
			NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,			
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw				
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	ΑZ,			
			BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,			
			ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,			
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	ĠN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
										EP 2002-102866						A 20021220					

									τ	JS	2002-	4350	40P		P	200	212	220
CA	25089	52			AA		2004	0708	(ÇΑ	2003-	2508	952			200	312	216
									I	ΞP	2002-	1028	56		A	200	212	220
									τ	JS	2002-	4350	40P		P	200	212	220
									V	O	2003-	EP51	025		W	200	312	216
EP	15787	26			A2	:	2005	0928	I	ΞP	2003-	7961	05			200	312	216
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE	, N	IC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL	, TR,	BG,	CZ,	EE,	HU	, 5	3K	
									I	ΞP	2002-	1028	56	,	A	200	212	220
									τ	JS	2002-	4350	40P		Ρ	200	212	220
									V	OW	2003-	EP51	025		W	200	312	216
BR	20030	175	18		Α		2005	1116	E	3R	2003-	1751	3			200	312	216
									F	EΡ	2002-	1028	56		Α	200	212	220
									τ	JS	2002-	4350	40P		P	200	212	220
									V	NO	2003-	EP51	025		W	200	312	216
NO	20050	0272	27		Α	:	2005	0713	ì	01/2	2005-	2727				200	506	507
									I	EΡ	2002-	1028	66		A	200	212	220
									τ	JS	2002-	4350	40P		P	200	212	220
									ī	ON	2003-	EP51	025		W	200	312	216

OS MARPAT 141:106386

IT 717855-69-1P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-acetyl-2,2,4-trimethyl-4-phenylquinoline derivs. for fertility regulation)

RN 717855-69-1 CAPLUS

4-Morpholinecarboxamide, N-[3-[[1-acetyl-6-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-1,2,3,4-tetrahydro-2,2,4-trimethyl-4-phenyl-7-quinolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN GI

$$R^4$$
 N
 R^2
 R^2
 R^1
 $COCH_3$ I

AB Title compds. I [wherein R1, R2 = H, Me; R3 = heterocycloalkylalkyl, (hetero)arylalkyl, (di)alkylaminocarbonylaminoalkyl, etc.; R4 = (hetero)aryl, (hetero)cycloalkyl, alkyl; and pharmaceutically acceptable salts thereof] were prepared For example, II, I [R1 = R2 = Me, R3 = Me2N(CH2)2, R4 = biphenyl], was given in multiple-step synthesis starting from (2,2,4-trimethyl-1,2-dihydroquinolin-6-yl)carbamic acid tert-Bu ester. The prepared title compds. I exhibited an IC50 value of less than 10-5 M in either an agonistic or an antagonistic assay for CHO-FSH bioactivity. Thus, I and their pharmaceutical compns. are useful for the manufacture of a medicament for fertility regulation.

AN 2004:546483 CAPLUS

DN 141:106385

TI Preparation of tetrahydroquinoline derivatives for fertility regulation

IN Timmers, Cornelis Marius; Karstens, Willem Frederik Johan

PA Akzo Nobel N.V., Neth.

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT	KIND DATE					APPL:	ICAT	ION 1	. O <i>l</i>		DATE							
ΡI	WO 2004056779 WO 2004056779							0708 0812	1	WO 2	003-	EP51	024		20031216				
	W:	CN, GE, LK, NZ, TM, : BW, BY,	CO, GH, LR, OM, TN, GH, KG,	CR, GM, LS, PG, TR, GM, KZ, FR,	CU, HR, LT, PH, TT, KE, MD, GB,	CZ, HU, LU, PL, TZ, LS, RU, GR,	AU, DE, ID, LV, PT, UA, MW, TJ, HU, CI,	DK, IL, MA, RO, UG, MZ, TM, IE,	DM, IN, MD, RU, US, SD, AT, IT, GA,	DZ, IS, MG, SC, UZ, SL, BE, LU, GN,	EC, JP, MK, SD, VC, SZ, BG, MC, GQ,	EE, KE, MN, SE, VN, TZ, CH, NL, GW,	EG, KG, MW, SG, YU, UG, CY, PT, ML,	ES, KP, MX, SK, ZA, ZM, CZ, RO, MR,	FI, KR, MZ, SL, ZM, DE, SE, NE,	GB, KZ, NI, SY, ZW AM, DK, SI, SN,	GD, LC, NO, TJ, AZ, EE, SK, TD,	TG	
	CA 250	A 2508923			AA			0708	1	CA 20 EP 20 US 20	003- 002- 002-	2508: 1028: 4354	923 65 79P	P 2 A 2 P 2 W 2		0031: 0021: 0021:	216 220 220		
	EP 157 R:	AT,	BE,	CH,		DK,	2005 ES,	FR,	GB,	EP 2	003- IT,	8082: LI,	99 LU,	NL,	SE,	0031: MC,	216		

20021220 EP 2002-102865 Α 20021220 US 2002-435479P P WO 2003-EP51024 20031216 W 20031216 BR 2003017514 20051116 BR 2003-17514 Α 20021220 EP 2002-102865 US 2002-435479P Ρ 20021220 20031216 WO 2003-EP51024 W 20050608 NO 2005002777 Α 20050713 NO 2005-2777 20021220 EP 2002-102865 Α 20021220 US 2002-435479P Р WO 2003-EP51024 W 20031216

OS MARPAT 141:106385

IT 717865-78-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-acetyl-2,2,4-trimethyl-4-phenylquinoline derivs. for fertility regulation)

RN 717865-78-6 CAPLUS

[1,1'-Biphenyl]-4-carboxamide, N-[1-acetyl-4-[4-[2-(dimethylamino)propoxy]phenyl]-1,2,3,4-tetrahydro-2,2,4-trimethyl-6-quinolinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 717865-77-5 CMF C38 H43 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2